STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 140078

TO: Rei-Tsang Shiao **Location: 5a10 / 5c18** **Saturday, December 11, 2004** **Art Unit: 1626** **Phone: 272-0707** **Serial Number: 10 / 627399**	**From: Jan Delaval** **Location: Biotech-Chem Library** **Rem 1A51** **Phone: 272-2504** **jan.delaval@uspto.gov**

Search Notes

Jan Delaney for search

Access DB# 140078

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Robert (Reg) Shiao Examiner #: 7952 Date: 12/10/04
Art Unit: 1626 Phone Number 2-0907 Serial Number: 10/627,399
Mail Box and Bldg/Room Location: 5A40/5C18 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. MEY

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Amphous of 3-(2-dimethyl)

Inventors (please provide full names): Shiao

Earliest Priority Filing Date: _____

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

I search 3-[2-(dimethyl amino)-ethyl]-N-methyl-1H-indole-5-methane sulfonamide (cpd 2) or sumatriptan

II succinate salt of cpd I

III search a process for making cpd I (sumatriptan) or its salt.

IV search a process for making crystal or amorphous form of cpd I. or cpd I succinate.

****

STAFF USE ONLY

Searcher: _____

Searcher Phone #: 22504

Searcher Location: _____

Date Searcher Picked Up: 12/4

Date Completed: 12/4

Searcher Prep & Review Time: _____

Clerical Prep Time: 10

Online Time: ~~120~~

Type of Search

NA Sequence (#) _____

AA Sequence (#) _____

Structure (#) _____

Bibliographic ✓

Litigation _____

Fulltext _____

Patent Family _____

Other _____

Vendors and cost where applicable

STN ✓

Dialog _____

Questel/Orbit _____

Dr.Link _____

Lexis/Nexis _____

Sequence Systems _____

WWW/Internet _____

Other (specify) _____

PTO-1590 (8-01)

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=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 13:27:19 ON 11 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 11 Dec 2004  VOL 141 ISS 25
FILE LAST UPDATED: 10 Dec 2004  (20041210/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> d his

     (FILE 'HOME' ENTERED AT 13:07:20 ON 11 DEC 2004)
               SET COST OFF

     FILE 'REGISTRY' ENTERED AT 13:07:38 ON 11 DEC 2004
               E SUMATRIPTAN/CN
L1             1 S E3
L2             1 S E4
L3             1 S E6
L4            33 S 103628-46-2/CRN
L5            12 S L4 AND MXS/CI
L6            21 S L4 NOT L5
L7            10 S L6 AND (COMPD OR WITH)
L8             8 S L7 NOT L2,L3
L9            11 S L6 NOT L7

     FILE 'HCAPLUS' ENTERED AT 13:11:17 ON 11 DEC 2004
L10          118 S L2 OR L3
L11          127 S SUMATRIPTAN()SUCCINATE OR IMIGRAN# OR IMIJECT OR IMITREX OR M
L12          137 S L10,L11
L13            1 S US20040143002/PN OR (US2003-627399# OR IN2002-MA594)/AP,PRN
               E REDDY M/AU
L14           27 S E3
               E REDDY M S/AU
L15          118 S E3-E7,E12-E14
               E REDDY MANNE/AU
L16           27 S E4,E5
               E RAJAN S/AU
L17           79 S E3,E18,E19
               E RAJAN SRINIVASAN/AU
L18           17 S E3,E4,E5
               E MURTHY M/AU
L19          129 S E3,E34-E41
               E MURTHY MOKKARALA/AU
L20            2 S E4
               E PRASAD A/AU
L21          245 S E3,E11
               E PRASAD ACHAMPETA/AU
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L22           2 S E4
L23           2 S L12 AND L13-L22

     FILE 'REGISTRY' ENTERED AT 13:15:43 ON 11 DEC 2004
L24           1 S SUMATRIPTAN/CN
L25           9 S (METHANOL OR ETHANOL OR PROPANOL OR ISOPROPANOL OR BUTANOL OR
L26         125 S C4H10O/MF AND OL
L27          11 S L26 NOT ((D OR T)/ELS OR LABELED OR ION OR CONJUGATE OR 11C#
                E C5H12O/MF
L28         143 S E3 AND OL
L29          22 S L28 NOT ((D OR T)/ELS OR LABELED OR ION OR CONJUGATE OR 11C#
L30          29 S L25,L29
L31           2 S (ACETONITRILE OR PROPIONITRILE)/CN
L32           3 S (HEXANE OR CYCLOHEXANE OR HEPTANE)/CN

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L33         854 S L24
L34        1279 S SUMATRIPTAN
L35          35 S GR43175 OR GR()(43175 OR 43 175)
L36        1318 S L33-L35
L37          14 S L36 AND (L9 OR ACETONITRILE OR PROPIONITRILE OR NITRIL?(L)SOL
L38          64 S L36 AND (L30 OR MEOH OR ETOH OR PROH OR IPROH OR BUOH OR TBUO
L39           2 S L36 AND (METHYL OR ETHYL OR PROPYL OR ISOPROPYL OR BUTYL OR P
L40           4 S L36 AND ALCOHOL?(L)SOLVENT
L41          75 S L37-L40

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L42           1 S SUCCINIC ACID/CN

     FILE 'HCAPLUS' ENTERED AT 13:23:03 ON 11 DEC 2004
L43           7 S L36 AND (L42 OR (SUCCINIC OR BUTANEDIOIC OR ETHANEDICARBOXYLI
L44           4 S L41 AND L43
L45           3 S L36 AND (L32 OR HEXANE OR CYCLOHEXANE OR HEPTANE)
L46           6 S L12 AND L43-L45
L47           4 S L41 AND L46
L48           9 S (L2 OR L3)(L)PREP+NT/RL
L49           9 S L2/P OR L3/P
L50           7 S L48,L49 AND L41
L51           3 S L48,L49 AND L43
L52           2 S L48,L49 AND L45
L53           7 S L50-L52
L54           2 S L49 NOT L53
L55           9 S L23,L47,L53,L54
L56           1 S L44,L47 NOT L55
L57           9 S L55 AND L10-L23,L33-L41,L43-L55
L58           8 S L57 NOT COMPLEX

     FILE 'HCAPLUS' ENTERED AT 13:27:19 ON 11 DEC 2004

=> d all hitstr tot l58

L58  ANSWER 1 OF 8  HCAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:996126  HCAPLUS
ED   Entered STN:  19 Nov 2004
TI   A preparation of high purity (dimethylamino)ethylindole derivative and its
     salts
IN   Potluri, Ramesh Babu; Hariharakrishnan, Venkata Subramanian; Tadimalla,
     Venkata Srihari; Kodali, Hari Prasad; Gottimukkala, Venkata Mallaparaju
PA   India
SO   PCT Int. Appl., 24 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
```

IC ICM C07D209-16
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 45
FAN.CNT 1

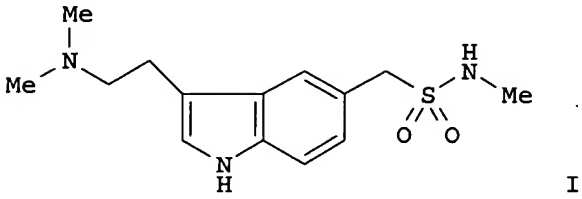
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004099141	A1	20041118	WO 2003-IN183	20030512

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI WO 2003-IN183 20030512
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004099141	ICM	C07D209-16

GI



I

AB The invention relates to a process for preparation of high purity (dimethylamino)ethylindole derivative of formula I and its salts. For instance, I was prepared via heterocyclization of 4-NH2NHC6H4CH2SO2NHMe with 4-(dimethylamino)butyraldehyde di-Et acetal and subsequent purification of I through citrate salt (99.8% purity).
ST high purity dimethylamino ethyl indolylmethyl sulfonamide prepn manuf; hydrazino benzyl sulfonamide dimethylamino butyraldehyde diethyl acetal heterocyclization purifn
IT Heterocyclization
(preparation of indole derivs. via heterocyclization of hydrazinobenzylsulfonamide derivative and butyraldehyde acetal derivative)
IT 88933-16-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(claimed; preparation of indole derivs. via heterocyclization of hydrazinobenzylsulfonamide derivative and butyraldehyde acetal derivative)
IT **103628-46-2P**
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. via heterocyclization of hydrazinobenzylsulfonamide derivative and butyraldehyde acetal derivative)
IT **103628-48-4P**
RL: **IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)**
(preparation of indole derivs. via heterocyclization of hydrazinobenzylsulfonamide derivative and butyraldehyde acetal derivative)
IT 1116-77-4P 19718-92-4P **648909-52-8P 795298-24-7P**

795298-25-8P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. via heterocyclization of hydrazinobenzylsulfonamide derivative and butyraldehyde acetal derivative)

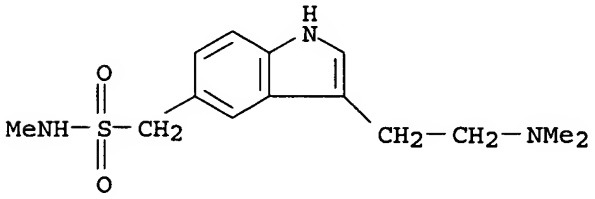
IT 50-81-7, Ascorbic acid 77-92-9, Citric acid 109-70-6, 1-Chloro-3-bromopropane **110-15-6**, **Succinic acid** 139272-29-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indole derivs. via heterocyclization of hydrazinobenzylsulfonamide derivative and butyraldehyde acetal derivative)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE
(1) Glaxo Group Ltd; DE 3444572 A 1985 HCAPLUS
(2) Glaxo Group Ltd; GB 2162522 A1 1986 HCAPLUS
(3) Glaxo Group Ltd; DE 3527648 A1 1986 HCAPLUS
(4) Glaxo Group Ltd; US 4994483 A 1991 HCAPLUS
(5) Knoll Aktiengesellschaft; WO 2001034561 A1 2001
(6) Vita-Invest S A; SK 280586 B6 2000 HCAPLUS

IT **103628-46-2P**
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. via heterocyclization of hydrazinobenzylsulfonamide derivative and butyraldehyde acetal derivative)

RN 103628-46-2 HCAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

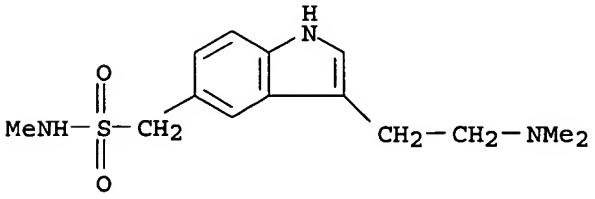


IT **103628-48-4P**
RL: **IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)**
(preparation of indole derivs. via heterocyclization of hydrazinobenzylsulfonamide derivative and butyraldehyde acetal derivative)

RN 103628-48-4 HCAPLUS
CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide (1:1) (9CI) (CA INDEX NAME)

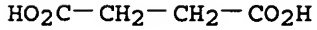
CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S



CM 2

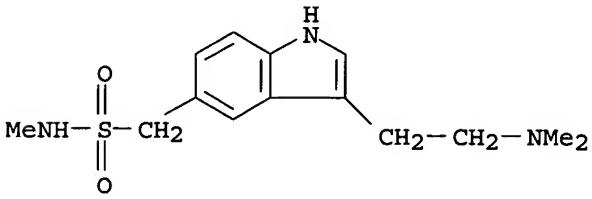
CRN 110-15-6
CMF C4 H6 O4



IT **648909-52-8P 795298-24-7P 795298-25-8P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. via heterocyclization of hydrazinobenzylsulfonamide derivative and butyraldehyde acetal derivative)
RN 648909-52-8 HCAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

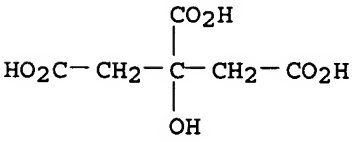
CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S



CM 2

CRN 77-92-9
CMF C6 H8 O7



RN 795298-24-7 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

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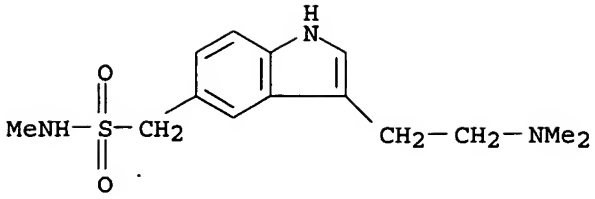


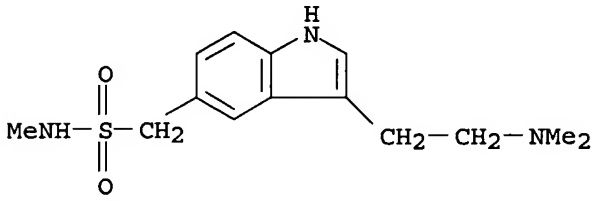
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 795298-25-8 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

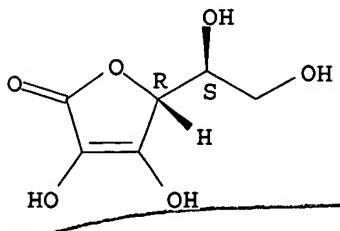
CRN 103628-46-2
CMF C14 H21 N3 O2 S



CM 2

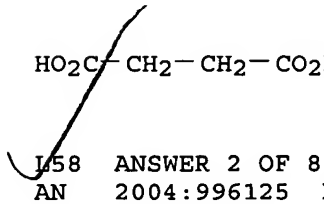
CRN 50-81-7
CMF C6 H8 O6

Absolute stereochemistry.



IT **110-15-6, Succinic acid**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indole derivs. via heterocyclization of hydrazinobenzylsulfonamide derivative and butyraldehyde acetal derivative)
RN 110-15-6 HCAPLUS

CN Butanedioic acid (9CI) (CA INDEX NAME)



L58 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:996125 HCAPLUS
DN 141:416035
ED Entered STN: 19 Nov 2004
TI Preparation of polymorphic crystalline forms of **sumatriptan succinate**
IN Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu; Muralidhara, Reddy Dasari; Subash, Chander Reddy Kesireddy
PA Hetero Drugs Limited, India
SO PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D209-14
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 27, 75
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004099140	A1	20041118	WO 2003-IN180	20030508

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI WO 2003-IN180 20030508
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004099140	ICM	C07D209-14

AB A process for the preparation of the polymorphic crystalline **sumatriptan succinate** form I is described which comprises: (A) dissolving **sumatriptan** free base in a suitable solvent (e.g., **methanol**); (B) adding **succinic acid**; and (C) isolating **sumatriptan succinate** form I. Also claimed are pharmaceutical dosage forms containing polymorphic crystalline **sumatriptan succinate** form I.
ST crystal polymorphism **sumatriptan succinate**
IT Crystallization
Neutralization
(in the preparation of polymorphic crystalline forms of **sumatriptan succinate**)
IT Polymorphism (crystal)
(preparation of polymorphic crystalline forms of **sumatriptan succinate**)
IT Drug delivery systems
(preparation of polymorphic crystalline forms of **sumatriptan succinate** for use in)
IT **103628-48-4P, Sumatriptan succinate**
RL: PRP (Properties); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**;

USES (Uses)
(preparation of polymorphic crystalline forms of **sumatriptan succinate**)

IT **110-15-6**, **Succinic acid**, reactions
103628-46-2, **Sumatriptan**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polymorphic crystalline forms of **sumatriptan succinate**)

IT 56-23-5, Tetrachloromethane, uses 60-29-7, Ethyl ether, uses **64-17-5**, **Ethanol**, uses **67-56-1**, **Methanol**, uses **67-63-0**, 2-**Propanol**, uses 67-64-1, Acetone, uses 67-66-3, Trichloromethane, uses **71-36-3**, 1-**Butanol**, uses 75-09-2, Dichloromethane, uses 75-65-0, tert-**Butanol**, uses 78-93-3, MEK, uses 79-20-9, Methyl acetate 96-22-0, Diethyl ketone 107-06-2, Ethylene dichloride, uses 107-31-3, Methyl formate 107-87-9, Methyl propyl ketone 108-10-1, MIBK 108-20-3, Diisopropyl ether 108-21-4, Isopropyl acetate 109-94-4, Ethyl formate 109-99-9, Thf, uses 141-78-6, Ethyl acetate, uses 540-88-5, tert-Butyl acetate 1634-04-4, MTBE
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(solvent; in the preparation of polymorphic crystalline forms of **sumatriptan succinate**)

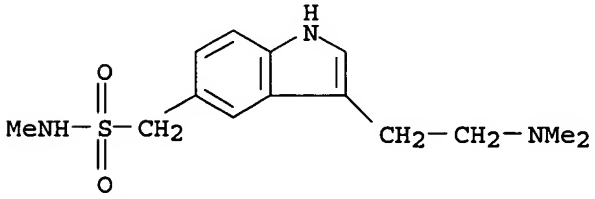
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Glaxo Group Limited; GB 2162522 A 1986 HCAPLUS
(2) Inke S A; ES 2033578 A1 1993 HCAPLUS

IT **103628-48-4P**, **Sumatriptan succinate**
RL: PRP (Properties); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of polymorphic crystalline forms of **sumatriptan succinate**)

RN 103628-48-4 HCAPLUS
CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide (1:1) (9CI) (CA INDEX NAME)

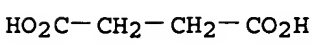
CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S



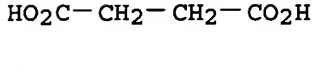
CM 2

CRN 110-15-6
CMF C4 H6 O4

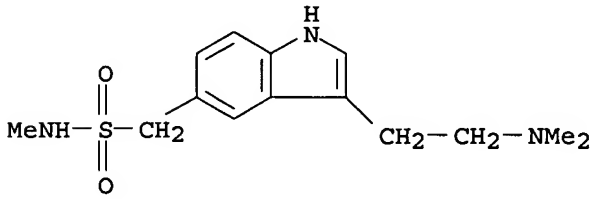


IT **110-15-6**, **Succinic acid**, reactions

103628-46-2, Sumatriptan
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polymorphic crystalline forms of **sumatriptan succinate**)
RN 110-15-6 HCAPLUS
CN Butanedioic acid (9CI) (CA INDEX NAME)

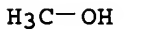


RN 103628-46-2 HCAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

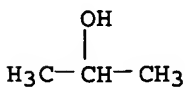


IT **64-17-5, Ethanol**, uses **67-56-1, Methanol**, uses **67-63-0, 2-Propanol**, uses **71-36-3, 1-Butanol**, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(solvent; in the preparation of polymorphic crystalline forms of **sumatriptan succinate**)
RN 64-17-5 HCAPLUS
CN Ethanol (9CI) (CA INDEX NAME)

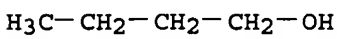
RN 67-56-1 HCAPLUS
CN Methanol (8CI, 9CI) (CA INDEX NAME)



RN 67-63-0 HCAPLUS
CN 2-Propanol (9CI) (CA INDEX NAME)



RN 71-36-3 HCAPLUS
CN 1-Butanol (9CI) (CA INDEX NAME)



L58 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:589257 HCAPLUS
DN 141:123560
ED Entered STN: 23 Jul 2004
TI Preparation of the amorphous form of **sumatriptan succinate**
IN **Reddy, Manne Satyanarayana; Rajan, Srinivasan Thirumalai ; Murthy, Mokkarala Suryanarayana; Prasad, Achampeta Kodanda Ram**
PA Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.
SO U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07D209-16
ICS A61K031-405
NCL 514419000; 548504000
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 63, 75
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004143002	A1	20040722	US 2003-627399	20030725 <--
PRAI IN 2002-MA594	A	20020812 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004143002	ICM	C07D209-16
	ICS	A61K031-405
	NCL	514419000; 548504000

AB The present invention relates to an amorphous form of **Sumatriptan succinate** of Formula (1). The present invention also relates to process for the preparation of an amorphous form of **Sumatriptan succinate.** The process for the preparation of an amorphous form of **Sumatriptan succinate** comprises refluxing an aqueous mixture of **Sumatriptan** or its succinate salt in **alc. solvents** such as **methanol** or **nitrile solvents** such as **acetonitrile** followed by evaporation of the **solvent** from the filtrate. The resulting residue is triturated with water-immiscible aromatic or aliphatic hydrocarbon **solvents** such as **cyclohexane** to afford an amorphous form of **sumatriptan succinate.**

ST crystal polymorphism **sumatriptan succinate**
IT Hydrocarbons, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(alicyclic, solvents; in the preparation of the amorphous form of **sumatriptan succinate**)
IT **Alcohols**, uses
Nitriles, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(aliphatic, **solvents**; in the preparation of the amorphous form of **sumatriptan succinate**)
IT Neutralization
(of **sumatriptan** with **succinic acid** in the preparation of the amorphous form of **sumatriptan succinate**)
IT Polymorphism (crystal)
(preparation of the amorphous form of **sumatriptan succinate**)
IT Separation
(reflux; in the preparation of the amorphous form of **sumatriptan**

succinate)

IT Ligroine
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(solvent; in the preparation of the amorphous form of **sumatriptan succinate**)

IT Hydrocarbons, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(solvents; in the preparation of the amorphous form of **sumatriptan succinate**)

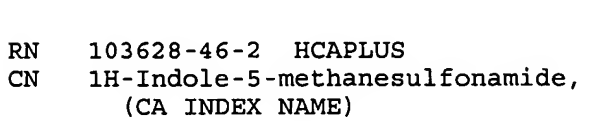
IT **110-15-6, Succinic acid**, reactions
103628-46-2, Sumatriptan
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of the amorphous form of **sumatriptan succinate**)

IT **103628-48-4P, Sumatriptan succinate**
RL: PRP (Properties); **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of the amorphous form of **sumatriptan succinate**)

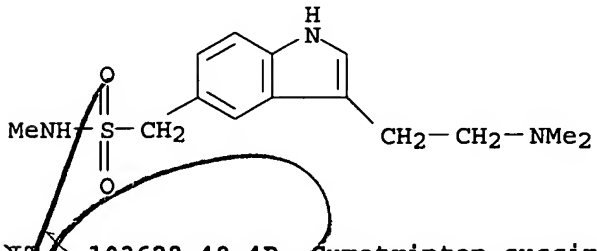
IT **64-17-5, Ethanol**, uses **67-56-1, Methanol**, uses **67-63-0, 2-Propanol**, uses **71-23-8, 1-Propanol**, uses **71-36-3, 1-Butanol**, uses 75-05-8, **Acetonitrile**, uses 78-92-2, 2-**Butanol** 107-12-0, **Propionitrile 110-54-3**, **Hexane**, uses **110-82-7, Cyclohexane**, uses **142-82-5, Heptane**, uses **6032-29-7, 2-Pentanol** 7732-18-5, Water, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(solvent; in the preparation of the amorphous form of **sumatriptan succinate**)

IT **110-15-6, Succinic acid**, reactions
103628-46-2, Sumatriptan
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of the amorphous form of **sumatriptan succinate**)

RN 110-15-6 HCAPLUS
CN Butanedioic acid (9CI) (CA INDEX NAME)



RN 103628-46-2 HCAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

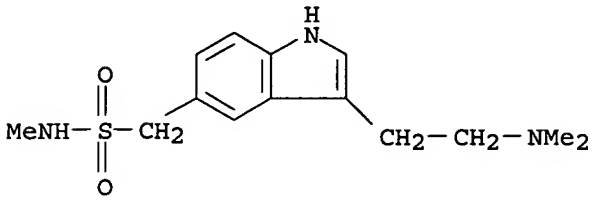


IT **103628-48-4P, Sumatriptan succinate**
RL: PRP (Properties); **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of the amorphous form of **sumatriptan**

succinate)
RN 103628-48-4 HCAPLUS
CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide (1:1) (9CI) (CA INDEX NAME)

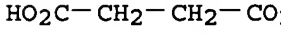
CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S

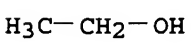


CM 2

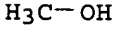
CRN 110-15-6
CMF C4 H6 O4



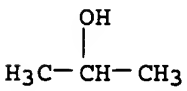
IT **64-17-5**, **Ethanol**, uses **67-56-1**, **Methanol**, uses **67-63-0**, 2-**Propanol**, uses **71-23-8**, 1-**Propanol**, uses **71-36-3**, 1-**Butanol**, uses **110-54-3**, **Hexane**, uses **110-82-7**, **Cyclohexane**, uses **142-82-5**, **Heptane**, uses **6032-29-7**, 2-**Pentanol**
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(solvent; in the preparation of the amorphous form of **sumatriptan succinate**)
RN 64-17-5 HCAPLUS
CN Ethanol (9CI) (CA INDEX NAME)



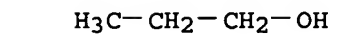
RN 67-56-1 HCAPLUS
CN Methanol (8CI, 9CI) (CA INDEX NAME)



RN 67-63-0 HCAPLUS
CN 2-Propanol (9CI) (CA INDEX NAME)

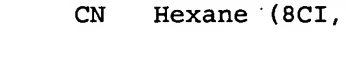


RN 71-23-8 HCAPLUS
CN 1-Propanol (9CI) (CA INDEX NAME)



RN 71-36-3 HCAPLUS
CN 1-Butanol (9CI) (CA INDEX NAME)

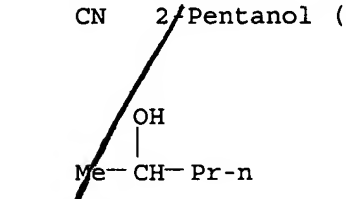
RN 110-54-3 HCAPLUS
CN Hexane (8CI, 9CI) (CA INDEX NAME)



RN 110-82-7 HCAPLUS
CN Cyclohexane (8CI, 9CI) (CA INDEX NAME)

RN 142-82-5 HCAPLUS
CN Heptane (8CI, 9CI) (CA INDEX NAME)

RN 6032-29-7 HCAPLUS
CN 2-Pentanol (8CI, 9CI) (CA INDEX NAME)



L58 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:1006761 HCAPLUS
DN 140:47527
ED Entered STN: 26 Dec 2003
TI Pure **Sumatriptan** and succinate salt crystal forms
IN **Reddy, Manne Satyanarayana; Rajan, Srinivasan Thirumalai ; Murthy, Mokkarala Suryanarayana; Prasad, Achampeta Kodanda Ram**
PA Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-4045
ICS C07D209-16

CC 63-6 (Pharmaceuticals)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003105836	A1	20031224	WO 2003-US19004	20030612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

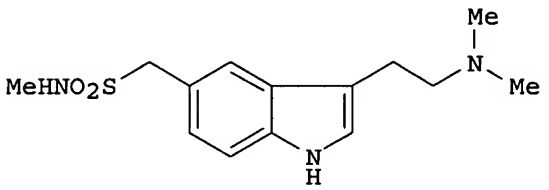
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI IN 2002-MA451 A 20020613
IN 2002-MA452 A 20020613

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003105836	ICM	A61K031-4045
	ICS	C07D209-16

GI



I

AB A process for the preparation of highly pure **Sumatriptan** (I) is described. A process for the preparation of novel crystalline Form I and crystalline Form II of I succinate is described. I is used for alleviating the pain of migraine headaches.

ST **sumatriptan succinate** crystal form purifn

IT Crystal morphology
(pure **Sumatriptan** and succinate salt crystal forms)

IT Alcohols, processes
Ethers, processes
Ketones, processes
Ligroine
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(pure **Sumatriptan** and succinate salt crystal forms)

IT **103628-48-4P, Sumatriptan succinate**
RL: FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); **PUR (Purification or recovery)**; PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); **PREP (Preparation)**; PROC (Process); USES (Uses)
(pure **Sumatriptan** and succinate salt crystal forms)

IT **103628-46-2P, Sumatriptan**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); PYP (Physical process); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(pure **Sumatriptan** and succinate salt crystal forms)

IT 56-23-5, Carbon tetrachloride, processes 60-29-7, Diethyl ether, processes **67-56-1**, **Methanol**, processes **67-63-0**, **Isopropanol**, processes 67-64-1, Acetone, processes 67-66-3, Chloroform, processes **71-23-8**, **Propanol**, processes **71-36-3**, 1-**Butanol**, processes 75-09-2, Dichloromethane, processes 78-83-1, Isobutanol, processes 78-93-3, Mek, processes 79-20-9, Methyl acetate 108-10-1, Mibk 108-20-3, Diisopropyl ether 109-60-4, Propyl acetate 109-99-9, Thf, processes **110-54-3**, **Hexane**, processes **110-82-7**, **Cyclohexane**, processes 123-86-4, Butyl acetate 141-78-6, Ethyl acetate, processes **142-82-5**, **Heptane**, processes 291-64-5, Cycloheptane 628-55-7, Diisobutyl ether 1300-21-6, Dichloroethane
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(pure **Sumatriptan** and succinate salt crystal forms)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Coates, I; US 4816470 A 1989 HCAPLUS

(2) Glaxo Group Ltd; GB 2162522 A 1986 HCAPLUS

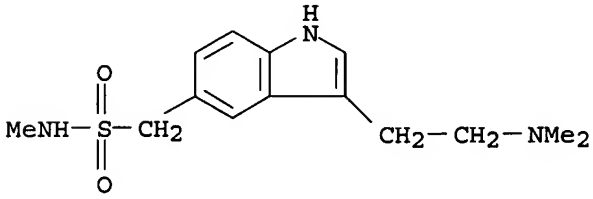
IT **103628-48-4P**, **Sumatriptan succinate**
RL: FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); **PUR (Purification or recovery)**; PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); **PREP (Preparation)**; PROC (Process); USES (Uses)
(pure **Sumatriptan** and succinate salt crystal forms)

RN 103628-48-4 HCAPLUS

CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide (1:1) (9CI) (CA INDEX NAME)

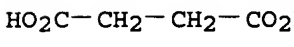
CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S



CM 2

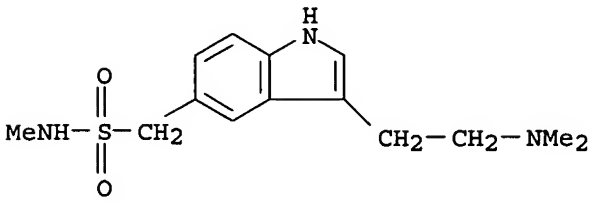
CRN 110-15-6
CMF C4 H6 O4



IT **103628-46-2P**, **Sumatriptan**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); PYP (Physical process); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(pure **Sumatriptan** and succinate salt crystal forms)

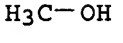
RN 103628-46-2 HCAPLUS

CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

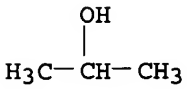


IT **67-56-1**, **Methanol**, processes **67-63-0**, **Isopropanol**, processes **71-23-8**, **Propanol**, processes **71-36-3**, 1-**Butanol**, processes **110-54-3**, **Hexane**, processes **110-82-7**, **Cyclohexane**, processes **142-82-5**, **Heptane**, processes
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(pure **Sumatriptan** and succinate salt crystal forms)

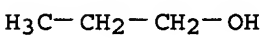
RN 67-56-1 HCAPLUS
CN Methanol (8CI, 9CI) (CA INDEX NAME)



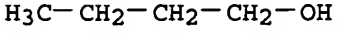
RN 67-63-0 HCAPLUS
CN 2-Propanol (9CI) (CA INDEX NAME)



RN 71-23-8 HCAPLUS
CN 1-Propanol (9CI) (CA INDEX NAME)



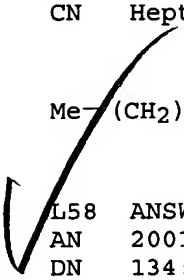
RN 71-36-3 HCAPLUS
CN 1-Butanol (9CI) (CA INDEX NAME)



RN 110-54-3 HCAPLUS
CN Hexane (8CI, 9CI) (CA INDEX NAME)

RN 110-82-7 HCAPLUS
CN Cyclohexane (8CI, 9CI) (CA INDEX NAME)

RN 142-82-5 HCAPLUS
CN Heptane (8CI, 9CI) (CA INDEX NAME)



L58 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:359956 HCAPLUS
DN 134:366673
ED Entered STN: 18 May 2001
TI Processes for the preparation of **sumatriptan** and related compounds via dithionite reduction of the corresponding diazonium salts.
IN Holman, Nicholas John; Friend, Christopher Lyndon
PA Knoll Aktiengesellschaft, Germany
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C303-40
ICS C07C311-35; C07D209-14
CC 25-5 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 27, 28
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001034561	A1	20010517	WO 2000-EP10581	20001027
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2389514	AA	20010517	CA 2000-2389514	20001027
	EP 1226116	A1	20020731	EP 2000-972875	20001027
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003513953	T2	20030415	JP 2001-536510	20001027
PRAI	GB 1999-26250	A	19991106		
	WO 2000-EP10581	W	20001027		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001034561	ICM	C07C303-40
	ICS	C07C311-35; C07D209-14

OS CASREACT 134:366673; MARPAT 134:366673
AB 4-RC6H4NHNH2 (R = CH2SO2NHMe, CH2CH2SO2Ph, CH2CH2SO2NHMe, pyrrolidin-1-ylsulfonylmethyl, 1,2,4-triazol-1-ylmethyl, etc.), were prepared by reduction of 4-RC6H4N.tplbond.N X- (R as above; X = anion of HCl, H2SO4, HOAc, H3PO4, HBF4, HBr) with a dithionite salt. The resulting phenylhydrazines can be converted to the corresponding indole derivs. by the Fischer indole synthesis. Thus, 4-amino-N-methylbenzenemethanesulfonamide was heated with aqueous HCl at 50° for

15 min. followed by cooling to -5°, treatment with aqueous NaNO2, aqueous Na dithionite, and aqueous NaOH to give after salification 4-hydrazino-N-methylbenzenemethanesulfonamide hydrochloride. This was refluxed with 4-chlorobutanal di-Me acetal in H2O/**EtOH** containing HCl and NaH2PO4 to give 3-(2-aminoethyl)-N-methyl-1H-indole-5-methanesulfonamide. The latter was heated with Na2HPO4 in **MeOH** followed by cooling and treatment with aqueous H2CO and NaBH4 to give 85% **sumatriptan** free base.

ST **sumatriptan** prepn; aryldiazonium dithionite redn; fischer indole synthesis; arylhydrazine prepn

IT Diazonium compounds
RL: RCT (Reactant); RACT (Reactant or reagent)
(arene, salts, reduction; processes for the preparation of **sumatriptan** and related compds. via dithionite reduction of the corresponding diazonium salts)

IT Fischer indole synthesis
Reduction
(processes for the preparation of **sumatriptan** and related compds. via dithionite reduction of the corresponding diazonium salts)

IT 7775-14-6, Sodium Dithionite
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of)

IT 88933-16-8P 139272-29-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(processes for the preparation of **sumatriptan** and related compds. via dithionite reduction of the corresponding diazonium salts)

IT **103628-46-2P, Sumatriptan 103628-48-4P, Sumatriptan succinate** 121679-30-9P **143675-45-0P, Sumatriptan** hemisulfate 144035-22-3P 171550-12-2P 181178-23-4P 340041-88-5P
RL: **IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)**
(processes for the preparation of **sumatriptan** and related compds. via dithionite reduction of the corresponding diazonium salts)

IT 14049-15-1 29882-07-3, 4-Chlorobutanal dimethyl acetal 85952-29-0 88919-24-8 98623-15-5 119192-09-5 340041-90-9 340041-91-0 340041-92-1 340041-93-2 340041-94-3 340041-95-4 340041-96-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(processes for the preparation of **sumatriptan** and related compds. via dithionite reduction of the corresponding diazonium salts)

IT 88919-22-6P 98623-16-6P 109903-35-7P 119192-10-8P 148960-51-4P 334981-10-1P 340041-89-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(processes for the preparation of **sumatriptan** and related compds. via dithionite reduction of the corresponding diazonium salts)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

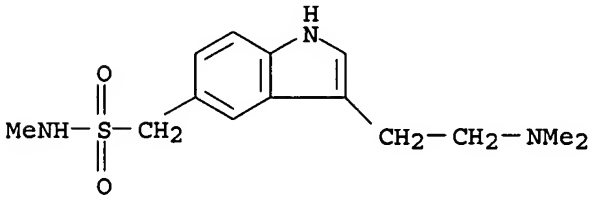
RE

(1) Coates, I; US 4816470 A 1989 HCAPLUS
(2) Glaxo; GB 2162522 A 1986 HCAPLUS
(3) Glaxo; EP 0490689 A 1992 HCAPLUS
(4) Glenn, R; JOURNAL OF MEDICINAL CHEMISTRY 1995, V38(18), P3566
(5) Hutchinson, J; US 5272145 A 1993 HCAPLUS
(6) Mertens, A; US 4851406 A 1989 HCAPLUS
(7) Oxford, A; US 4994483 A 1991 HCAPLUS
(8) Street, L; JOURNAL OF MEDICINAL CHEMISTRY 1995, V38(10), P1799 HCAPLUS
(9) Thompson, L; JOURNAL OF THE SOCIETY OF DYERS AND COLOURISTS 1921, V37, P7 HCAPLUS

IT **103628-46-2P, Sumatriptan 103628-48-4P, Sumatriptan succinate 143675-45-0P, Sumatriptan** hemisulfate
RL: **IMF (Industrial manufacture); SPN (Synthetic**

preparation); PREP (Preparation)
(processes for the preparation of **sumatriptan** and related compds. via dithionite reduction of the corresponding diazonium salts)

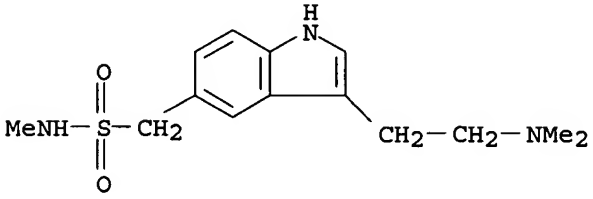
RN 103628-46-2 HCAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 103628-48-4 HCAPLUS
CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide (1:1) (9CI) (CA INDEX NAME)

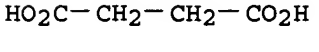
CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S



CM 2

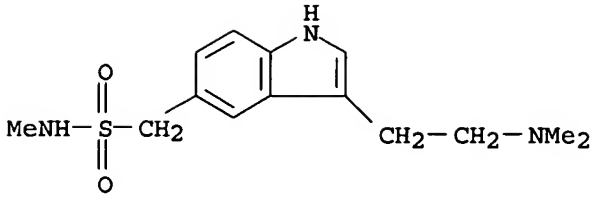
CRN 110-15-6
CMF C4 H6 O4



RN 143675-45-0 HCAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-, sulfate (2:1) (9CI) (CA INDEX NAME)

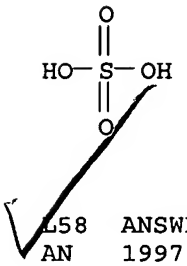
CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S



CM 2

CRN 7664-93-9
CMF H2 O4 S



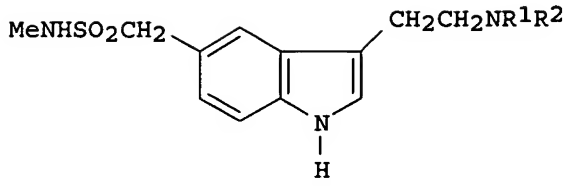
L58 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:730202 HCAPLUS
DN 127:318877
ED Entered STN: 20 Nov 1997
TI Process for producing **sumatriptan** with Fischer cyclization of urethane-protected (4-hydrazinophenyl)methanesulfonamide as key step
IN Pete, Bela; Toeke, Laszlo; Harsanyi, Kalman; Szantay, Csaba
PA Richter Gedeon Vegyeszeti Gyar Rt., Hung.
SO Hung. Teljes, 23 pp.
CODEN: HUXXBU
DT Patent
LA Hungarian
IC ICM C07D209-14
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI HU 76139	A2	19970630	HU 1995-1298	19950505
PRAI HU 1995-1298		19950505		

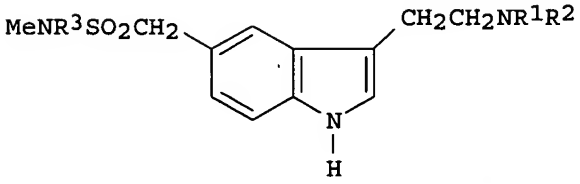
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
HU 76139	ICM	C07D209-14

OS MARPAT 127:318877
GI



I



II

AB The preparation of tryptamine sulfonamide derivs. I (R1, R2 = H, Me) entails: (a1) conversion of 4-O2NC6H4CH2SO2NHMe to the urethane 4-O2NC6H4CH2SO2NR3Me (R3 = C1-4 alkyloxycarbonyl group) with alkyl chloroformate, reduction of the latter to 4-H2NC6H4CH2SO2NR3Me , diazotization/reduction of the latter to 4-(NH2NH)C6H4CH2SO2NR3Me.HX (X = halogen or acid residue), Fischer cyclization of the latter with 4-aminobutyraldehyde acetal R1R2N(CH2)3CH(OMe)2, chloro acetal Cl(CH2)3CH(OMe)2, or bisulfite adduct Cl(CH2)3CH(OH)SO3Na to form II, and hydrolysis of the latter to I. Thus, cyclization of 4-(NH2NH)C6H4CH2SO2NR3Me.HCl (R3 = CO2Et) (preparation given) with 4-(dimethylamino)butyraldehyde di-Me acetal afforded 36% II (R3 = CO2Et, R1 = R2 = Me); hydrolysis with KOH/**MeOH**/H2O afforded 98% **sumatriptan.**

ST **sumatriptan** prepn; tryptamine sulfonamide prepn; Fischer cyclization urethane protected hydrazinophenylmethanesulfonamide

IT Fischer indole synthesis
Protective groups
(preparation of **sumatriptan** with Fischer cyclization of urethane-protected (4-hydrazinophenyl)methanesulfonamide as key step)

IT 197580-86-2P 197580-87-3P 197580-88-4P 197580-89-5P 197580-91-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **sumatriptan** with Fischer cyclization of urethane-protected (4-hydrazinophenyl)methanesulfonamide as key step)

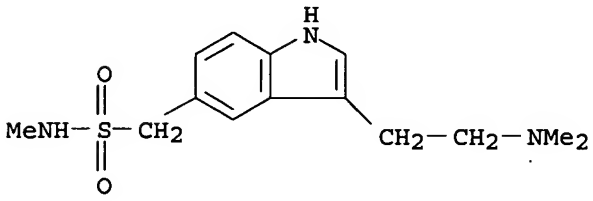
IT **103628-46-2P, Sumatriptan 103628-47-3P,**
Sumatriptan hemisuccinate 197580-90-8P
RL: **IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)**
(preparation of **sumatriptan** with Fischer cyclization of urethane-protected (4-hydrazinophenyl)methanesulfonamide as key step)

IT 541-41-3, Ethyl chloroformate 19718-92-4, 4-(Dimethylamino)butyraldehyde dimethyl acetal 29882-07-3, 4-Chlorobutyraldehyde dimethyl acetal 54322-20-2 85952-29-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **sumatriptan** with Fischer cyclization of urethane-protected (4-hydrazinophenyl)methanesulfonamide as key step)

IT **103628-46-2P, Sumatriptan 103628-47-3P,**
Sumatriptan hemisuccinate
RL: **IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)**
(preparation of **sumatriptan** with Fischer cyclization of urethane-protected (4-hydrazinophenyl)methanesulfonamide as key step)

RN 103628-46-2 HCAPLUS

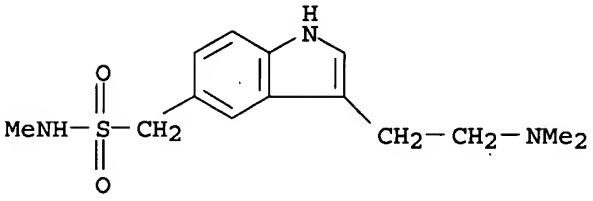
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 103628-47-3 HCAPLUS
CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide (1:2) (9CI) (CA INDEX NAME)

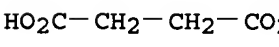
CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S



CM 2

CRN 110-15-6
CMF C4 H6 O4



L58 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:191533 HCAPLUS
DN 120:191533
ED Entered STN: 16 Apr 1994
TI Process for the preparation of 3-[2-(dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide [**sumatriptan**]
IN Dalmases Barjoan, Pere; Marquillas Olondriz, Francisco; Bosch Rovira, Anna; Caldero Ges, Jose Maria
PA Inke, S.A., Spain
SO Span., 4 pp.
CODEN: SPXXAD
DT Patent
LA Spanish
IC C07D209-16
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI ES 2033578	A1	19930316	ES 1991-1360	19910606
ES 2033578	B1	19950116		

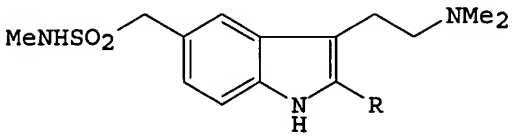
	CZ 283683	B6	19980617	CZ 1993-197	19930215
	RU 2108327	C1	19980410	RU 1993-4523	19930216
PRAI	ES 1991-1360	A	19910606		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
ES 2033578	IC	C07D209-16

OS CASREACT 120:191533

GI



I

AB The title compound I (R = H) (II), useful for the treatment of migraine (no data), is prepared by catalytic decarboxylation of the carboxylic acid I (R = CO2H) (III) in a solvent medium. Thus, heating of III with Cu2O in dry quinoline under N at 205° for 30-40 min gave 80% II. Similar reaction using powdered Cu catalyst in a mixture of quinoline and di-Ph ether over 1 h gave 69% II. II was also converted to its 1:1 succinate salt.

ST indolemethanesulfonamide prepn treatment migraine; **sumatriptan**; decarboxylation indolecarboxylic acid

IT Decarboxylation
(of indolecarboxylic acid derivative, **sumatriptan** from)

IT Headache
(migraine, **sumatriptan** for treatment of, preparation of)

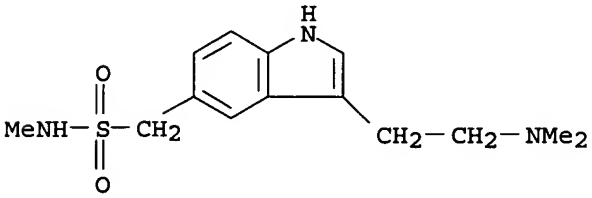
IT 153654-26-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(decarboxylation of)

IT **103628-46-2P, Sumatriptan 103628-48-4P, Sumatriptan succinate**
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of, by decarboxylation of carboxylic acid derivative)

IT **103628-46-2P, Sumatriptan 103628-48-4P, Sumatriptan succinate**
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of, by decarboxylation of carboxylic acid derivative)

RN 103628-46-2 HCAPLUS

CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



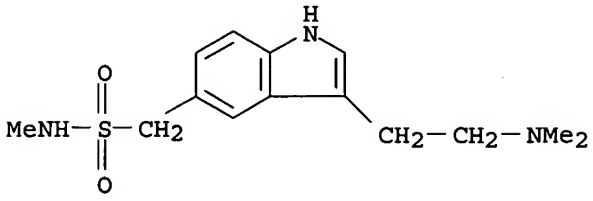
RN 103628-48-4 HCAPLUS

CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

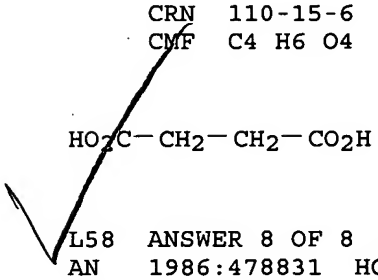
CRN 103628-46-2

CMF C14 H21 N3 O2 S



CM 2

CRN 110-15-6
CMF C4 H6 O4



L58 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:478831 HCAPLUS
DN 105:78831
ED Entered STN: 06 Sep 1986
TI 3-[2-(Dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide
IN Oxford, Alexander William
PA Glaxo Group Ltd., UK
SO Ger. Offen., 57 pp.
CODEN: GWXXBX
DT Patent
LA German
IC ICM C07D209-14
ICS A61K031-40
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3527648	A1	19860213	DE 1985-3527648	19850801
	DE 3527648	C2	19930826		
	CH 666026	A	19880630	CH 1985-3296	19850730
	HU 40077	A2	19861128	HU 1985-2945	19850731
	HU 201738	B	19901228		
	SE 8503680	A	19860202	SE 1985-3680	19850801
	SE 452460	B	19871130		
	SE 452460	C	19880310		
	FI 8502969	A	19860202	FI 1985-2969	19850801
	FI 78466	B	19890428		
	FI 78466	C	19890810		
	DK 8503511	A	19860202	DK 1985-3511	19850801
	DK 158942	B	19900806		
	DK 158942	C	19910121		
	BE 903006	A1	19860203	BE 1985-215426	19850801
	NO 8503046	A	19860203	NO 1985-3046	19850801
	NO 164653	B	19900723		
	NO 164653	C	19901107		
	GB 2162522	A1	19860205	GB 1985-19418	19850801
	GB 2162522	B2	19880224		
	AU 8545689	A1	19860206	AU 1985-45689	19850801
	AU 573878	B2	19880623		

FR 2568571	A1	19860207	FR 1985-11790	19850801
FR 2568571	B1	19880923		
NL 8502171	A	19860303	NL 1985-2171	19850801
NL 188642	B	19920316		
NL 188642	C	19920817		
JP 61047464	A2	19860307	JP 1985-168664	19850801
JP 06023197	B4	19940330		
ZA 8505818	A	19860430	ZA 1985-5818	19850801
ES 545810	A1	19861016	ES 1985-545810	19850801
AT 8502266	A	19871215	AT 1985-2266	19850801
AT 386196	B	19880711		
CA 1241004	A1	19880823	CA 1985-487992	19850801
PL 146005	B1	19881231	PL 1985-254800	19850801
IL 75986	A1	19890228	IL 1985-75986	19850801
SU 1498386	A3	19890730	SU 1985-3935745	19850801
ES 552047	A1	19871216	ES 1986-552047	19860214
ES 557480	A1	19880216	ES 1987-557480	19870331
ES 557481	A1	19880216	ES 1987-557481	19870331
ES 557483	A1	19880216	ES 1987-557483	19870331
ES 557482	A1	19880301	ES 1987-557482	19870331
US 5037845	A	19910806	US 1989-317682	19890301
SK 277952	B6	19950913	SK 1991-4041	19911223
CZ 280530	B6	19960214	CZ 1991-4041	19911223

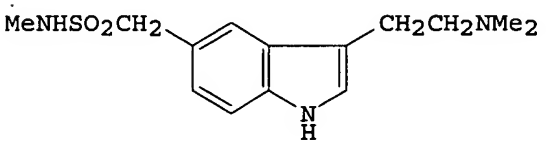
PRAI GB 1984-19575 19840801
US 1985-761392 19850801
US 1987-82666 19870807

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 3527648	ICM	C07D209-14
	ICS	A61K031-40

OS CASREACT 105:78831

GI



I

AB The title compound (I), prepared by 8 methods, is useful in treating migraine headaches at 0.1-100 mg per dose, up to 8 times daily. Hydrogenation of 3-(cyanomethyl)-N-methyl-1H-indole-5-methanesulfonamide over prereduced 10% Pd oxide on active C in methanolic and ethanolic Me2NH for 24 h at room temperature gave I (isolated as the succinate). Several formulations were given.

ST migraine indolemethanesulfonamide prepn

IT Vasoconstrictors
(indolemethanesulfonamide derivs.)

IT Headache
(migraine, treatment of, indolemethanesulfonamide derivs. for)

IT 501-53-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of indolemethanesulfonamide derivative)

IT 88919-51-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, with benzyl chloroformate)

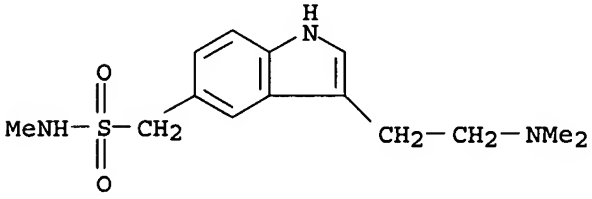
IT 100-44-7, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation by, of indolemethanesulfonamide derivative)

IT 74-89-5, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation by, of Ph indolemethanesulfonate)
IT 99200-43-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with methylamine)
IT 88933-16-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with (phenylthio)acetaldehyde)
IT 66303-55-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with hydrazinobenzenemethanesulfonamide derivative)
IT 88919-22-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation of)
IT 103628-42-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
IT 103628-58-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
IT 103654-21-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and dephenylthiolation of)
IT 103628-57-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)
IT 103628-49-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and lithium aluminum hydride reduction of)
IT 103628-45-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
IT 61-54-1P 103628-43-9P 103628-44-0P 103628-50-8P 103628-51-9P
103628-52-0P 103628-54-2P 103628-55-3P 103628-56-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)
IT 103628-53-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
IT **103628-46-2P 103628-47-3P 103628-48-4P**
103628-59-7P 103628-60-0P **103628-61-1P**
103628-62-2P
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of, for treatment of migraine)
IT 124-40-3, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (chloroethyl)indolemethanesulfonamide derivative)
IT 2315-36-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with phosphorus oxychloride and methylindolemethanesulfonamide)
IT 88918-76-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(saponification or benzylation of)
IT 88919-50-0 88919-51-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(N-methylation of)

IT **103628-46-2P 103628-47-3P 103628-48-4P**
103628-59-7P 103628-61-1P 103628-62-2P
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of, for treatment of migraine)

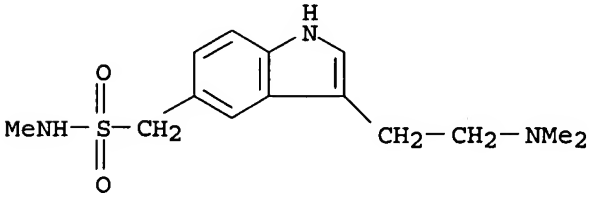
RN 103628-46-2 HCAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 103628-47-3 HCAPLUS
CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide (1:2) (9CI) (CA INDEX NAME)

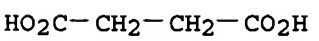
CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S



CM 2

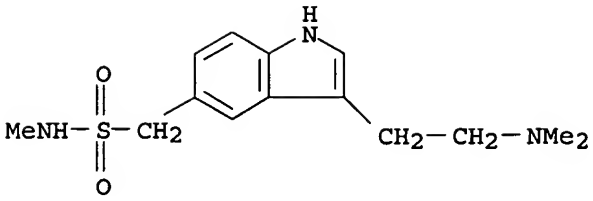
CRN 110-15-6
CMF C4 H6 O4



RN 103628-48-4 HCAPLUS
CN Butanedioic acid, compd. with 3-[2-(dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S



CM 2

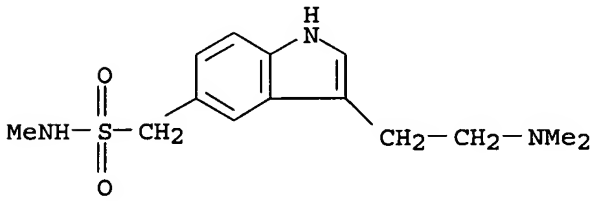
CRN 110-15-6
CMF C4 H6 O4

$HO_2C-CH_2-CH_2-CO_2H$

RN 103628-59-7 HCAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

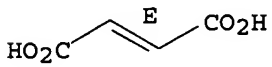
CRN 103628-46-2
CMF C14 H21 N3 O2 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

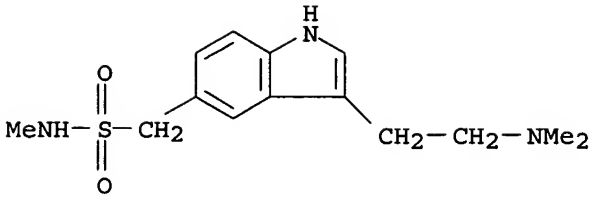
Double bond geometry as shown.



RN 103628-61-1 HCAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

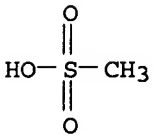
CM 1

CRN 103628-46-2
CMF C14 H21 N3 O2 S

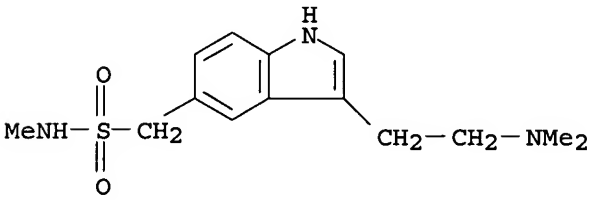


CM 2

CRN 75-75-2
CMF C H4 O3 S



RN 103628-62-2 HCAPLUS
CN 1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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